(FILE 'HOME' ENTERED AT 12:26:33 ON 29 JUN 2003)

FILE 'REGISTRY' ENTERED AT 12:26:42 ON 29 JUN 2003
L1 STRUCTURE UPLOADED
L2 4 S L1 SSS SAM

L3 70 S L1 SSS FULL

FILE 'CAPLUS, MEDLINE, USPATFULL, EUROPATFULL' ENTERED AT 12:28:14 ON 29 JUN 2003

FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 12:28:41 ON 29 JUN 2003

L4 86 S L3

L5 8 S L4 AND (HEPATITIS C OR HCV)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:695725 CAPLUS

DOCUMENT NUMBER: 137:210908

TITLE: Nucleotides, preparation thereof, and use as

inhibitors of RNA viral polymerases

INVENTOR(S): Montgomery, John A.; Babu, Yarlagadda S.; Rowland, R.

Scott; Chand, Pooran

PATENT ASSIGNEE(S): Biocryst Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	rent	NO.		KI	ND	DATE			A)	PPLI	CATI	ои ис	ο.	DATE			
		0.000			- -	2002	0010		_ ·	2 20	02 11			2002	206		
	2002 2002			A. A		2002			W	J 20	02-0	S655	T	2002	0000		
WO					_			7\ 7	עם	מם	B.C	DD.	BV	BZ,	$C\Delta$	CH	CN
	W:													GE,			
					•	•				•				LK,	-	-	
														PL,			
		SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
						AZ,			-	-							
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝĒ,	SN,	TD,	TG
PRIORIT	Y APP	LN.	INFO	.:				1	US 20	001-	2733	42P	P	2001	0306		
								1	US 20	001-	2856	98P	Ρ	2001	0424		
								1	US 2	001-	3313	23P	Ρ	2001	1114		

OTHER SOURCE(S): MARPAT 137:210908

GI

AB Antiviral nucleotides I were prepd. as inhibitors of RNA viral polymerases (no data), wherein X is selected from the group consisting of: O, S, N-R1, and CHR1; Y and Y' is individually selected from H, OR1, NR1R2, and N3; Z and Z' is individually selected from H, OR1, and NR1R2; R = H, monophosphate PO3R32, diphosphate P2O6R33, triphosphate P3O9R34; R1 and R2 is selected from H, alkyl, acyl, aryl which may be substituted or unsubstituted; R3 is selected from H, alkyl, alkenyl, alkynyl, aryl, acyloxyalkyl, and pivaloyloxyalkyl; B is selected from 5 or 6-substituted uracil or cytosine, pseudouracil, N-substituted pseudouracil, 2-thiouracil, 2-thiocytosine, 5- or 6-substituted 2-thiouracil and 2-thiocytosine, 6-azauracil, 5-azacytosine, 8-azapurines, and 7-aza-8-deazapurines. Substitutions may be halo-substituted alkyl, halo-substituted alkenyl, halo-substituted alkynyl, halo-substituted aryl, alkylthio, or NR1R2. When Z and Z' are H and Y or Y' is OH then B is not 5-Me uracil or cytosine; and pharmaceutically acceptable salts thereof, mono, di or triphosphate and prodrugs thereof. Thus, 1-(3'-deoxy-.beta.-Dribofuranosyl)-2-thiocytosine was prepd. as inhibitors of RNA viral polymerases (no data).

IT 70580-87-9P 70580-88-0P

RL: BSU (Biological study, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation)

(Nucleotides, prepn. thereof, and use as inhibitors of RNA viral polymerases)

RN 70580-87-9 CAPLUS

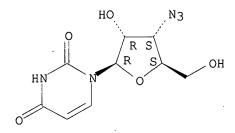
CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 70580-88-0 CAPLUS

CN Uridine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:555629 CAPLUS

DOCUMENT NUMBER: 137:125359

TITLE: Preparation of nucleoside derivatives as inhibitors of

RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn

L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss,

Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha

Ρ.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	٥.	DATE			
WO	2002	0574	25	A	2	2002	0725		W	0 20	02-U	S153	1	2002	0118		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,

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LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002147160
                            20021010
                                           US 2002-52318
                                                             20020118
                       Α1
                                        US 2001-263313P
PRIORITY APPLN. INFO.:
                                                         Ρ
                                                             20010122
                                        US 2001-282069P
                                                         Б.
                                                             20010406
                                        US 2001-299320P
                                                         Ρ
                                                             20010619
                                        US 2001-344528P
                                                         Ρ
                                                             20011025
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OTHER SOURCE(S):

MARPAT 137:125359

GΙ

The present invention provides the prepn. of nucleoside compds. I, wherein AB B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. contg. such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-.beta.-Dribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepd. as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 .mu.M. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells contg. a sub-genomic HCV Replicon.

123402-24-4P 123402-25-5P ΙT

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

123402-24-4 CAPLUS RN

Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) CN INDEX NAME)

Absolute stereochemistry.

RN 123402-25-5 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CF INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:504634 CAPLUS

DOCUMENT NUMBER:

137:57536

TITLE:

Remedies for hepatitis C

INVENTOR(S):

Morioka, Masahiko; Ubasawa, Masaru; Arai, Masaaki

PATENT ASSIGNEE(S):

Mitsubishi Pharma Corporation, Japan

SOURCE:

PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

1

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT 1	NO.		KI	ND	DATE			A	PPLI	CATI	и ис	ο.	DATE			
	WO	2002	0514:	25	A	1.	2002	0704		W	0 20	01-J	P113	65	2001	1225		
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
															GB,			
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SĠ,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	ΤZ,
			UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,
			ТJ,	ΤM														
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
PRIOR	RITY	APP	LN.	INFO	.:					JP 2	000-	3946	20	Α	2000	1226		
										JP 2	001-	2354	2	Α	2001	0131		
										JP 2	001-	1055	85	Α	2001	0404		
OTHER	≀'so	URCE	(S):			MAR	PAT	137:	5753	6								
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AB Excellent remedies for **hepatitis** C which contain as the active ingredients a 3'-deoxy-3'-fluorouridine deriv. and a

1-(3'-deoxy-3'-fluoro-.beta.-L-ribofuranosyl)uracil deriv. and show little side effects. 57944-13-5DP, 3'-Deoxy-3'-fluorouridine, derivs. ΙT 112668-56-1P 123402-24-4P 125217-37-0P 439579-20-1P 439579-21-2P 439579-22-3P 439579-24-5P 439579-25-6P 439579-26-7P 439579-28-9P 439579-32-5P 439579-34-7P 439579-36-9P 439579-37-0P 439579-38-1P 439579-40-5P 439579-41-6P 439579-42-7P 439579-43-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (deoxy-3'-fluorouridine deriv. and a 1-(3'-deoxy-3'-fluoro--Lribofuranosyl)uracil deriv. as remedies for hepatitis C) RN 57944-13-5 CAPLUS Uridine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 112668-56-1 CAPLUS CN Uridine, 3'-deoxy-3',5-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125217-37-0 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-20-1 CAPLUS CN Uridine, 5-cyano-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-21-2 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 439579-22-3 CAPLUS CN Uridine, 5-bromo-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-24-5 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-2-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-25-6 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-4-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-26-7 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-L-ribofuranosyl)-(9CI) (CA INDEX NAME)

RN 439579-28-9 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-L-ribofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 439579-34-7 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5-methyl(9CI) (CA INDEX NAME)

RN 439579-36-9 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 5-cyano-3'-deoxy-3'-fluoro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-37-0 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-38-1 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 5-bromo-3'-deoxy-3'-fluoro- (9CI)
(CA INDEX NAME)

RN 439579-40-5 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-2-thio-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-41-6 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-4-thio- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-42-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-0[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-Lribofuranosyl]- (9CI) (CA INDEX NAME)

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RN
     439579-43-8 CAPLUS
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2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-0-CN [hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-Lribofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS ANSWER 4 OF 8

ACCESSION NUMBER:

2002:314958 CAPLUS

DOCUMENT NUMBER:

136:340939

TITLE:

Preparation of modified nucleosides for treatment of viral infections and abnormal cellular proliferation

INVENTOR(S):

Stuyver, Lieven; Watanabe, Kyoichi A.

PATENT ASSIGNEE(S):

Pharmasset Limited, USA PCT Int. Appl., 230 pp.

. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	rent 1	NO.		KI	ND	DATE		,	A	PPLI	CATI	ON N	ο.	DATE			
	WO	2002	0329	20	A	2	2002	0425		W	0 20	01-U	S461	13	2001	1018		•
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
•	•		HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT,	RO,
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤŹ,	UA,	UG,	US,	UZ,
							AM,											
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG	
	ΑU	2002	0287	49	A	5	2002	0429		Α	บ 20	02-2	8749		2001	1018		
	US	2003	0878	73	А	1	2003	0508		U	S 20	01-4	5292		2001	1018		
PRIO	RIT	Y APP	LN.	INFO	. :					US 2	000-	2414	88P	P	2000	1018		
									;	US 2	001-	2821	56P	Р	2001	0406		
									1	WO 2	001-	US46	113	W	2001	1018		
GT																		

Ι

Modified nucleosides, e.g. I, wherein D is hydrogen, alkyl, acyl, AΒ monophosphate, diphosphate, triphosphate, monophosphate ester, diphosphate ester, triphosphate ester, phospholipid or amino acid; X is H, halogen, NH2, substituted amine, oxime, OH, alkoxy, SH, thioalkyl; Y is O, S, Se; R and R1 are independently H, alkyl, alkenyl, alkynyl, aryl, alkylaryl, halogen, NH2, substituted amine, oxime, hydrazine, OH, alkoxy, SH, thioalkyl, NO2, NO, CH2OH, CH2OH, ester, CONH2, amide, CN; R2 and R3 are independently H, halogen, OH, SH, OMe, SMe, NH2, NHMe, CH:CH2, CN, CH2NH2, CH2OH, CO2H; were prepd. for treating a Flaviviridae (including BVDV and HCV), Orthomyxoviridae (including Influenza A and B) or Paramyxoviridae (including RSV) infection, or conditions related to abnormal cellular proliferation, in a host, including animals, and esp. humans. This invention also provides an effective process to quantify the viral load, and in particular BVDV, HCV or West Nile Virus load, in a host, using real-time polymerase chain reaction ("TR-PCR"). Addnl., the invention discloses probe mols. that can fluoresce proportionally to the amt. of virus present in a sample. Thus, (1'R,2'S,3'R,4'R)-1-[2,3dihydroxy-4-(hydroxymethyl)cyclopentan-1-yl]-5-fluorocytosine was prepd. and tested in vitro as antiviral and antitumor agent.

IT 60786-48-3P 415704-55-1P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of modified nucleosides for treatment of viral infections and abnormal cellular proliferation)

RN 60786-48-3 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 415704-55-1 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-azido-3-deoxy-.beta.-L-arabinofuranosyl)-(9CI) (CA INDEX NAME)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003.ACS ACCESSION NUMBER:

DOCUMENT NUMBER:

2002:171918 CAPLUS

136:217007

TITLE:

Preparation of antiviral nucleoside derivatives as

inhibitors of subgenomic hepatitis C

virus RNA replication

INVENTOR(S):

Devos, Rene; Dymock, Brian William; Hobbs, Christopher

John; Jiang, Wen-rong; Martin, Joseph Armstrong;

Merrett, John Herbert; Najera, Isabel; Shimma, Nobuo;

Tsukuda, Takuo

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche Ag, Switz.

SOURCE:

PCT Int. Appl., 225 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                                        KIND
                                                   DATE
                                                                              APPLICATION NO.
                                                   _____
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                                       A2
                                                   20020307
                                                                              WO 2001-EP9633
                                                                                                              20010821
         WO 2002018404
                      AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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                                                                                                                              CH, CY,
                                                                                                                              TR, BF,
                                                                             US 2001-923620
         US 2003008841
                                         Α1
                                                   20030109
                                                                                                              20010807
         AU 2001095497
                                         Α5
                                                   20020313
                                                                              AU 2001-95497
                                                                                                              20010821
         EP 1315736
                                         Α2
                                                   20030604
                                                                              EP 2001-976128
                                                                                                              20010821
                     AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                                                         GB 2000-21285
                                                                                                        A 20000830
                                                                                                        Α
                                                                         GB 2000-26611
                                                                                                              20001031
                                                                                                        W
                                                                         WO 2001-EP9633
                                                                                                             20010821
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OTHER SOURCE(S):

MARPAT 136:217007

GI

AB Nucleosides I, wherein R1 is hydrogen, hydroxy, alkyl; hydroxyalkyl, alkoxy, halogen, cyano, isocyano or azido; R2 is hydrogen, hydroxy, alkoxy, chlorine, bromine or iodine; R3 is hydrogen; or R2 and R3 together represent =CH2; or R2 and R3 represent fluorine; X is O, S or CH2; B is a substituted purine base, were prepd. as inhibitors of subgenomic hepatitis C virus (HCV) RNA replication.

Thus, nucleoside II was prepd. and tested for the inhibition of HCV RNA replication (EC50 = 0.6 .mu.M).

IT 26563-01-9P 125217-37-0P 129885-95-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antiviral nucleoside derivs. as inhibitors of subgenomic hepatitis C virus RNA replication)

RN 26563-01-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-(9CI) (CA INDEX NAME)

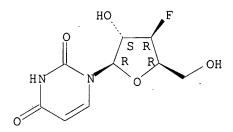
Absolute stereochemistry.

RN 125217-37-0 CAPLUS

CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

129885-95-6 CAPLUS RN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS 2001:617773 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 135:175346

Method for the treatment or prevention of flavivirus TITLE:

infections using nucleoside analogues

Ismaili, Hicham Moulay Alaoui; Cheng, Yun-Xing; INVENTOR(S):

Lavallee, Jean-Francois; Siddiqui, Arshad; Storer,

Richard

Biochem Pharma Inc., Can. PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	TA	ENT 1	NO.		KI	ND	DATE			Ī	APPLI	CATI	ои ис	ο.	DATE			
							2001 2003			Ţ	NO 20	01-C	A197		2001	0219		
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
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			YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚŻ	, MD,	RU,	ТJ,	TM				
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											, ML,							
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E	CP I										EP 20							
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											, AL,							
											JS, 20				2001			
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PRIORI	ΥT	APP:	LN.	INFO	.:						2000-							
										WO :	2001-	CA19	7	W	2001	0219		
OTHER	SOI	JRCE	(S):			MAR	PAT	135:	1753	46								

OTHER SOURCE(S): MARPAT 135:1/5346

The present invention relates to a method for the treatment or prevention AΒ of Flavivirus infections using nucleoside analogs in a host comprising administering a therapeutically effective amt. of the nucleoside analog or a pharmaceutically acceptable salt thereof.

70580-87-9 85708-20-9 123402-20-0 ΙT

123402-25-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for treatment or prevention of flavivirus infections using
nucleoside analogs and their combination with other agents in relation
to hepatitis C virus RNA-dependent RNA polymerase
(NS5B protein))

RN 70580-87-9 CAPLUS

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85708-20-9 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-20-0 CAPLUS

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-25-5 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 USPATFULL

2003:11137 USPATFULL ACCESSION NUMBER:

TITLE:

Anti-HCV nucleoside derivatives

INVENTOR(S):

Devos, Rene, Welwyn Garden City, UNITED KINGDOM Dymock, Brian William, St. Albans, UNITED KINGDOM Hobbs, Christopher John, Hertford, UNITED KINGDOM

Jiang, Wen-Rong, Welwyn Garden City, UNITED KINGDOM Martin, Joseph Armstrong, Harpenden, UNITED KINGDOM Merrett, John Herbert, Baldock, UNITED KINGDOM

Najera, Isabel, St. Albans, UNITED KINGDOM

Shimma, Nobuo, Chigasaki-shi, JAPAN Tsukuda, Takuo, Odawara-shi, JAPAN

	NUMBER	KIND	DATE	
ION:	US 2003008841	A1	20030109	
0.:	US 2001-923620	A1	20010807	(9)

PATENT INFORMATI APPLICATION INFO .:

DATE NUMBER 20000830 GB 2000-21285 GB 2000-26611 20001031

PRIORITY INFORMATION: DOCUMENT TYPE:

Utility APPLICATION

FILE SEGMENT: LEGAL REPRESENTATIVE:

HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340

KINGSLAND STREET, NUTLEY, NJ, 07110

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

LINE COUNT: 4872

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention comprises novel and known purine and pyrimidine nucleoside derivatives which have been discovered to be active against

hepatitis C virus (HCV). The use of these

derivatives for the treatment of HCV infection is claimed as are the novel nucleoside derivatives disclosed herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

26563-01-9P 125217-37-0P 129885-95-6P

(prepn. of antiviral nucleoside derivs. as inhibitors of subgenomic hepatitis C virus RNA replication)

RN 26563-01-9 USPATFULL

2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-CN (CA INDEX NAMÉ) (9CI)

RN 125217-37-0 USPATFULL

CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 129885-95-6 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 8 OF 8 USPATFULL

ACCESSION NUMBER: 2002:32541 USPATFULL

TITLE: Method for the treatment or prevention of flavivirus

infections using nucleoside analogues

INVENTOR(S): Ismaili, Hicham Moulay Alaoui, Montreal, CANADA

Cheng, Yun-Xing, Dollard-des-Ormeaux, CANADA Lavallee, Jean-Francois, Bellefeuille, CANADA Siddiqui, Arshad, Dollard-des-Ormeaux, CANADA

Storer, Richard, Baie d'Urfe, CANADA

•	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002019363	A1	20020214	
APPLICATION INFO.:	US 2001-785235	.A1	20010220	(9)

NUMBER DATE

PRIORITY INFORMATION: US 2000-183349P 20000218 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, PC, 2200 CLARENDON

BLVD, SUITE 1400, ARLINGTON, VA, 22201

NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 1165

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method for the treatment or prevention of Flavivirus infections using nucleoside analogues in a host comprising administering a therapeutically effective amount of a compound having the formula I or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 70580-87-9 85708-20-9 123402-20-0

123402-25-5

(method for treatment or prevention of flavivirus infections using nucleoside analogs and their combination with other agents in relation to hepatitis C virus RNA-dependent RNA polymerase (NS5B protein))

RN 70580-87-9 USPATFULL

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85708-20-9 USPATFULL

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

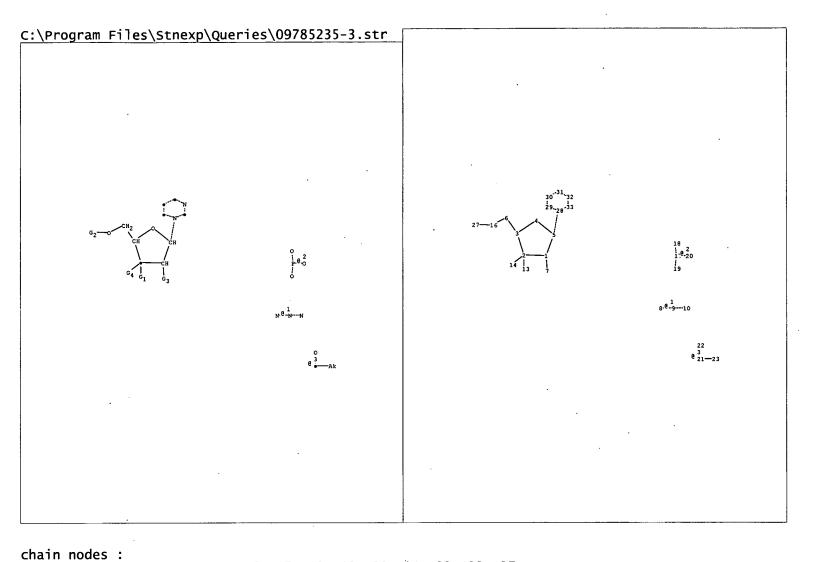
RN 123402-20-0 USPATFULL

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

RN 123402-25-5 USPATFULL CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

(FILE 'HOME' ENTERED AT 12:47:36 ON 29 JUN 2003)

L1 L2	FILE 'REGISTRY' ENTERED AT 12:48:27 ON 29 JUN 2003 STRUCTURE UPLOADED 70 S L1 SSS FULL
L3	FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 12:49:35 ON 29 JUN 2003 86 S L2
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	FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 12:51:09 ON 29 JUN 2003
L4	86 S L3
L5	8 S L3 AND (HCV OR HEPATITIS C)
L6	19 S L4 AND ANTIVIRAL



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ring nodes:
    1 2 3
            4 5 28 29
                             30 31 32 33
chain bonds:
    1-7 2-13 2-14 3-6 5-28 6-16 8-9 9-10 16-27 17-18 17-19 17-20 21-22 21-23
ring bonds :
    1-2 1-5 2-3 3-4 4-5 28-33 28-29 29-30 30-31 31-32 32-33
exact/norm bonds:
1-2 1-5 1-7 2-3 2-13 2-14 3-4 4-5 5-28 8-9 9-10 16-27 17-18 17-19 17-20 21-22 21-23 28-33 28-29 29-30 30-31 31-32 32-33
exact bonds :
    3-6 6-16
G1:F,[*1]
G2:H,[*2],[*3]
G3:OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, PhO
G4:G1,H
Match level:
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 13:CLASS
    14:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 27:CLASS 28:Atom 29:Atom 30:Atom 31:Atom 33:Atom
                                                                          22:Atom 23:Atom
Generic attributes:
    23:
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6 7 8 9 10 13 14 16 17 18 19 20 21 22 23 27

Number of Carbon Atoms : less than 7

ANSWER 1 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:695725 CAPLUS

DOCUMENT NUMBER:

137:210908

TITLE:

Nucleotides, preparation thereof, and use as

inhibitors of RNA viral polymerases

INVENTOR(S):

Montgomery, John A.; Babu, Yarlagadda S.; Rowland, R.

Scott; Chand, Pooran

PATENT ASSIGNEE(S):

Biocryst Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 24 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	Э.	DATE			
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														PL, UG,			
	RW:					AZ, MW.								ZW,	AT,	BE,	CH,
	*****	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL, NE,	PT,	SE,	TR,
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OTHER SOURCE(S): GΙ

MARPAT 137:210908

RO

· AB Antiviral nucleotides I were prepd. as inhibitors of RNA viral polymerases (no data), wherein \dot{X} is selected from the group consisting of: O, S, N-R1, and CHR1; Y and Y' is individually selected from H, OR1, NR1R2, and N3; Z and Z' is individually selected from H, OR1, and NR1R2; R = H, monophosphate PO3R32, diphosphate P2O6R33, triphosphate P3O9R34; R1 and R2 is selected from H, alkyl, acyl, aryl which may be substituted or unsubstituted; R3 is selected from H, alkyl, alkenyl, alkynyl, aryl, acyloxyalkyl, and pivaloyloxyalkyl; B is selected from 5 or 6-substituted uracil or cytosine, pseudouracil, N-substituted pseudouracil, 2-thiouracil, 2-thiocytosine, 5- or 6-substituted 2-thiouracil and 2-thiocytosine, 6-azauracil, 5-azacytosine, 8-azapurines, and 7-aza-8-deazapurines. Substitutions may be halo-substituted alkyl, halo-substituted alkenyl, halo-substituted alkynyl, halo-substituted aryl, alkylthio, or NR1R2. When Z and Z' are H and Y or Y' is OH then B is not 5-Me uracil or cytosine; and pharmaceutically acceptable salts thereof, mono, di or triphosphate and prodrugs thereof. Thus, 1-(3'-deoxy-.beta.-Dribofuranosyl)-2-thiocytosine was prepd. as inhibitors of RNA viral polymerases (no data).

ΙT

RL: BSU (Biological study, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation)

(Nucleotides, prepn. thereof, and use as inhibitors of RNA viral

polymerases)
RN 70580-87-9 CAPLUS

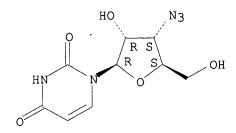
CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 70580-88-0 CAPLUS

CN Uridine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 2 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:555629 CAPLUS

DOCUMENT NUMBER: 137:125359

TITLE: Preparation of nucleoside derivatives as inhibitors of

RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn

L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha

Ρ,

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT	NO.		KI	ND.	DATE			A	PPLI	CATI	N NC	ο.	DATE			
WO	2002	0574	25	 A:	 2	2002	0725		W	0 20	02-U	s153	i -	2002	0118		
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		T.T.	T.II.	L.V.	MA.	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NO.	NZ.	OM.	PH.	PL.

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             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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     US 2002147160
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                                                             20020118
                       Α1
PRIORITY APPLN. INFO .:
                                         US 2001-263313P
                                                         Ρ
                                                             20010122
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                                                          Р
                                                             20010406
                                         US 2001-299320P
                                                          Ρ
                                                             20010619
                                         US 2001-344528P
                                                          Ρ
                                                             20011025
                         MARPAT 137:125359
OTHER SOURCE(S):
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The present invention provides the prepn. of nucleoside compds. I, wherein AΒ B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. contq. such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-.beta.-Dribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepd. as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 .mu.M. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells contg. a sub-genomic HCV Replicon.

IT 123402-24-4P 123402-25-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 123402-24-4 CAPLUS

123402-25-5 CAPLUS RN

Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) CN INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 19 CAPLUS COPYRIGHT 2003 ACS

2002:504634 CAPLUS ACCESSION NUMBER:

137:57536 DOCUMENT NUMBER:

TITLE:

Remedies for hepatitis C

INVENTOR(S):

Morioka, Masahiko; Ubasawa, Masaru; Arai, Masaaki

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

SOURCE:

PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                             KIND
                                     DATE
                                                         APPLICATION NO.
                                     20020704
      WO 2002051425
                              Α1
                                                         WO 2001-JP11365
                                                                                20011225
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                 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
                 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
                 TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
                 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
                 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                                      JP 2000-394620
                                                                            A 20001226
                                                     JP 2001-23542
                                                                            Α
                                                                                20010131
                                                                          Α
                                                    JP 2001-105585
                                                                                20010404
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OTHER SOURCE(S): MARPAT 137:57536

AΒ Excellent remedies for hepatitis C which contain as the active ingredients a 3'-deoxy-3'-fluorouridine deriv. and a 1-(3'-deoxy-3'-fluoro-.beta.-Lribofuranosyl)uracil deriv. and show little side effects.

57944-13-5DP, 3'-Deoxy-3'-fluorouridine, derivs.

112668-56-1P 123402-24-4P 125217-37-0P

439579-20-1P 439579-21-2P 439579-22-3P 439579-24-5P 439579-25-6P 439579-26-7P 439579-28-9P 439579-32-5P 439579-34-7P 439579-36-9P 439579-37-0P 439579-38-1P 439579-40-5P 439579-41-6P 439579-42-7P 439579-43-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(deoxy-3'-fluorouridine deriv. and a 1-(3'-deoxy-3'-fluoro--L-ribofuranosyl)uracil deriv. as remedies for hepatitis C)

RN 57944-13-5 CAPLUS

CN Uridine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 112668-56-1 CAPLUS
CN Uridine, 3'-deoxy-3',5-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 125217-37-0 CAPLUS
CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-20-1 CAPLUS CN Uridine, 5-cyano-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-21-2 CAPLUS
CN Uridine, 3'-deoxy-3'-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-22-3 CAPLUS CN Uridine, 5-bromo-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

Absolute stereochemistry.

RN 439579-28-9 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-L-ribofuranosyl)-5fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-32-5 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3',5-difluoro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-34-7 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5-methyl(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-36-9 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 5-cyano-3'-deoxy-3'-fluoro- (9CI)
(CA INDEX NAME)

RN 439579-37-0 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-38-1 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 5-bromo-3'-deoxy-3'-fluoro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-40-5 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-2-thio- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-42-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-0-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-L-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-43-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-0-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-L-ribofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:314958 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

136:340939

TITLE:

Preparation of modified nucleosides for treatment of

viral infections and abnormal cellular proliferation

Stuyver, Lieven; Watanabe, Kyoichi A.

INVENTOR(S):
PATENT ASSIGNEE(S):

Pharmasset Limited, USA PCT Int. Appl., 230 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English 2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.	ATENT	NO.		KI	ND	DATE			А	PPLI	CATI	ои ис	э.	DATE		•	
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W	0 2002	0329	20	A.	2	2002	0425		M	0 20	01-U	S461	13	2001	1018		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,
		VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
A	U 2002	0287	49	A.	5	2002	0429		A	U 20	02-2	8749		2001	1018		
U	S 2003	0878	73	A	1	2003	0508		Ų.	S 20	01-4	5292		2001	1018		
PRIORI	TY APP	LN.	INFO	. :				1	US 2	000-	2414	88P	P	2000	1018		
								1	US 2	001-	2821	56P	P	2001	0406		
								1	WO 2	001-	US46	113	W	2001	1018		
A T																	

$$R^{3}$$
 R^{2}
 R^{1}
 R^{2}
 R^{2}
 R^{3}

Modified nucleosides, e.g. I, wherein D is hydrogen, alkyl, acyl, AB monophosphate, diphosphate, triphosphate, monophosphate ester, diphosphate ester, triphosphate ester, phospholipid or amino acid; X is H, halogen, NH2, substituted amine, oxime, OH, alkoxy, SH, thioalkyl; Y is O, S, Se; R and R1 are independently H, alkyl, alkenyl, alkynyl, aryl, alkylaryl, halogen, NH2, substituted amine, oxime, hydrazine, OH, alkoxy, SH, thioalkyl, NO2, NO, CH2OH, CH2OH, ester, CONH2, amide, CN; R2 and R3 are independently H, halogen, OH, SH, OMe, SMe, NH2, NHMe, CH:CH2, CN, CH2NH2, CH2OH, CO2H; were prepd. for treating a Flaviviridae (including BVDV and HCV), Orthomyxoviridae (including Influenza A and B) or Paramyxoviridae (including RSV) infection, or conditions related to abnormal cellular proliferation, in a host, including animals, and esp. humans. This invention also provides an effective process to quantify the viral load,, and in particular BVDV, HCV or West Nile Virus load, in a host, using real-time polymerase chain reaction ("TR-PCR"). Addnl., the invention discloses probe mols. that can fluoresce proportionally to the amt. of virus present in a sample. Thus, (1'R, 2'S, 3'R, 4'R) - 1 - [2, 3 - dihydroxy - 4 - dihydroxy - dihydrox(hydroxymethyl)cyclopentan-1-yl]-5-fluorocytosine was prepd. and tested in vitro as antiviral and antitumor agent.

IT 60786-48-3P 415704-55-1P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of modified nucleosides for treatment of viral infections and abnormal cellular proliferation)

RN 60786-48-3 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 415704-55-1 CAPLUS

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:171918 CAPLUS

DOCUMENT NUMBER:

136:217007

TITLE:

Preparation of antiviral nucleoside

derivatives as inhibitors of subgenomic hepatitis C

virus RNA replication

INVENTOR(S):

Devos, Rene; Dymock, Brian William; Hobbs, Christopher

John; Jiang, Wen-rong; Martin, Joseph Armstrong;

Merrett, John Herbert; Najera, Isabel; Shimma, Nobuo;

Tsukuda, Takuo

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche Ag, Switz.

SOURCE:

PCT Int. Appl., 225 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

1

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	٥.	DATE			
	WO	2002	0184	04	 A:	- - 2	2002	0307		W	0 20	01-E	P963	3	2001	0821		
		W:													BZ,		CH,	CN,
															GB,			
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PH,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,
															ТJ,			
		RW:													ΑT,			
															PT,			BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
		2003																
		2001																
	ΕP	1315																
•		R:	AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
							FΙ,											
PRIO	RIT	APP	LN.	INFO	. :				1	GB 2	000-	2128	5 .	Α	2000	0830		
															2000			
									1	WO 2	001-	EP96	33	W	2001	0821		
OMITTEE	2 0/	OLID CE	101.			MATE	יידי עכו	126.	2170	Λ 7								

OTHER SOURCE(S):

MARPAT 136:217007

GΙ

Ι

AB Nucleosides I, wherein R1 is hydrogen, hydroxy, alkyl, hydroxyalkyl, alkoxy, halogen, cyano, isocyano or azido; R2 is hydrogen, hydroxy, alkoxy, chlorine, bromine or iodine; R3 is hydrogen; or R2 and R3 together represent =CH2; or R2 and R3 represent fluorine; X is O, S or CH2; B is a substituted purine base, were prepd. as inhibitors of subgenomic hepatitis C virus (HCV) RNA replication. Thus, nucleoside II was prepd. and tested for the inhibition of HCV RNA replication (EC50 = 0.6 .mu.M).

IT 26563-01-9P 125217-37-0P 129885-95-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antiviral nucleoside derivs. as inhibitors of subgenomic hepatitis C virus RNA replication)

RN 26563-01-9 CAPLUS

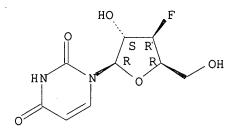
CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125217-37-0 CAPLUS
CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

RN129885-95-6 CAPLUS 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 6 OF 19 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:617773 CAPLUS

135:175346 DOCUMENT NUMBER:

Method for the treatment or prevention of flavivirus TITLE:

infections using nucleoside analogues

Ismaili, Hicham Moulay Alaoui; Cheng, Yun-Xing; INVENTOR(S):

Lavallee, Jean-Francois; Siddiqui, Arshad; Storer,

Richard

PATENT ASSIGNEE(S): Biochem Pharma Inc., Can. SOURCE:

PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.		KI	ND	DATE			APPLICATION NO.			o.	DATE						
	WO 2001060315 WO 2001060315							Ī	WO 20	01-C	A197		2001	0219				
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	, BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	, ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	, KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW	, MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VN,
			YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	, MD,	RU,	ТJ,	TM				
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL	, SZ,	ΤZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	, ML,	MR,	NE,	SN,	TD,	ΤG		
A	AU 2001035278		A.	A5 . 20010827			Z	AU 20	01-3	5278		2001	0219					
E	EΡ	1296	690		A.	2	2003	0402		I	EP 20	01-9	0727	6	2001	0219		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	, GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	ΓI,	RO,	MK,	CY,	, AL,	TR						
Ü	JS	2002	0193	63	A.	1	2002	0214		Ţ	JS 20	01-7	8523	5	2001	0220		
N	10	2002	0038	84	Α		2002	1017		1	NO 20	02-3	884		2002	0816		
PRIORI	PRIORITY APPLN. INFO.:			. :					US 2	2000-	1833	49P	P	2000	0218			
									,	WO 2	2001-	CA19	7	W	2001	0219		
OTHER SOURCE(S). MARPAT 135.175346																		

OTHER SOURCE(S): MARPAT 135:175346

The present invention relates to a method for the treatment or prevention of Flavivirus infections using nucleoside analogs in a host comprising administering a therapeutically effective amt. of the nucleoside analog or a pharmaceutically acceptable salt thereof.

ΙT 70580-87-9 85708-20-9 123402-20-0 123402-25-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for treatment or prevention of flavivirus infections using nucleoside analogs and their combination with other agents in relation to hepatitis C virus RNA-dependent RNA polymerase (NS5B protein))

RN 70580-87-9 CAPLUS

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85708-20-9 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-20-0 CAPLUS

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-25-5 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1999:594332 CAPLUS

DOCUMENT NUMBER:

131:317318

TITLE:

QSAR studies of antiviral agents using

molecular similarity analysis and structure-activity

maps

AUTHOR(S):

Parakulam, R. R.; Lesniewski, M. L.; Taylor-McCabe, K.

J.; Tsai, C.

CORPORATE SOURCE:

Department of Chemistry, Kent State University, Kent,

OH, 44242-0001, USA

SOURCE:

SAR and QSAR in Environmental Research (1999),

10(2-3), 175-206

CODEN: SQERED; ISSN: 1062-936X Gordon & Breach Science Publishers

PUBLISHER: Gordon & DOCUMENT TYPE: Journal

LANGUAGE:

English

AB Quant. structure-activity relationships (QSAR) were developed for nucleoside analogs with anti-HIV activity. These compds. were investigated to det. the correlation of structure and toxicity/activity using mol. similarity anal. and structure-activity maps. A multiple-formula approach was used to perform quant. mol. similarity anal. (QMSA) and QSAR study. Mol. descriptors such as no. of atoms and bonds of a mol. (NAB), max. common substructure (MaCS), and mol. similarity index (MSI) were used in the authors structure-activity relation study. The MaCS of two mols. is defined as the substructure with the greatest NAB value common to both mols. The MSI of two mols. X and Y is defined as MSI(X,Y) = [MaCS(X,Y)/NAB(X)] .times. [MaCS(X,Y)/NAB(Y)]. MaCS and MSI

maps (structure-toxicity map and structure-antiviral map) and QMSA were used to det. the site and type of modification for reduced

quantify the similarity between two mol. structures. Structure-activity

toxicity and improved activity of new compds.

125217-37-0, Uridine, 3'-deoxy-3'-fluoro-5-methyl-

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(QSAR studies of **antiviral** pyrimidine nucleoside analogs with anti-HIV activity in relation to toxicity using mol. similarity anal. and structure-activity maps)

RN 125217-37-0 CAPLUS

CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1996:304337 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

125:58994

TITLE:

Preparation of 1-(3'-fluoro-2',3'-dideoxy-.beta.-D-ribofuranosyl)-5-substituted pyrimidine nucleosides as

antiviral agents against HIV

INVENTOR(S):

Johansson, Karl N. G.; Lindborg, Bjoeg; Norinder, Ulf;

Stening, Goran B.

PATENT ASSIGNEE(S):

Medivir Ab, Swed.

SOURCE:

U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 802,706,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5506215 PRIORITY APPLN. INFO	A . :	19960409	US 1994-354769 SE 1987-4298 US 1988-266402 US 1990-518495 US 1991-802706	19941212 19871103 19881102 19900503 19911206

OTHER SOURCE(S):

MARPAT 125:58994

GΙ

$$R^1$$
 R^2
 $HO \longrightarrow O$
 F
 I

The title 2',3'-deoxy-3'-fluoro-pyrimidine nucleosides (I; R1 = OH or NH2; R2 = CF3, n-Pr, cyclopropyl, CH2OMe, CH2SMe, CH:CH2, CH:CHMe, C.tplbond.CH, C.tplbond.CMe, CH2C.tplbond.CH) or pharmaceutically acceptable salts thereof are prepd. Thus, 1-(3'-deoxy-3'-fluoro-.beta.-D-

arabinofuranosyl)thymine (prepn. given) was benzoylated by benzoyl chloride in pyridine and alkylated by monomethoxytrityl chloride in pyridine to give 1-(5'-O-monomethoxytrityl-3'-deoxy-3'-fluoro-.beta.-D-arabinofuranosyl)-3-benzoylthymine, which was methylated by MeI in the presence of silver oxide in acetone and treated with satd. NH3 in MeOH and then 80% aq. AcOH to give 1-(3'-fluoro-2'-methoxy-2',3'-dideoxy-.beta.-D-arabinofuranosyl)thymine (II). II at 10 .mu.g/mL and 5-chloro-1-(3'-fluoro-2',3'-dideoxy-.beta.-D-ribofuranosyl)uracil at 0.04 .mu.g/mL inhibited 85 and 50%, resp., HIV multiplication in H9 cell culture.

IT 178374-46-4P 178374-47-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (fluorodideoxy-.beta.-D-ribofuranosyl)pyrimidine nucleosides
as antiviral agents against HIV)

RN 178374-46-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-2-0-methyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178374-47-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-2-0-methyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 99614-77-4P 124493-83-0P 178374-50-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (fluorodideoxy-.beta.-D-ribofuranosyl)pyrimidine nucleosides as antiviral agents against HIV)

RN 99614-77-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 124493-83-0 CAPLUS

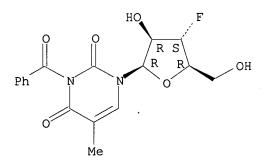
CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178374-50-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 · ANSWER 9 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:15354 CAPLUS

DOCUMENT NUMBER: 116:15354

TITLE: 3'-Substituted thymine .alpha.-L-nucleoside

derivatives as potential antiviral agents:

synthesis and biological evaluation

AUTHOR(S): Genu-Dellac, C.; Gosselin, G.; Aubertin, A. M.; Obert,

G.; Kirn, A.; Imbach, J. L.

CORPORATE SOURCE: Univ. Montpellier II, Montpellier, 34095, Fr.

SOURCE: Antiviral Chemistry & Chemotherapy (1991), 2(2), 83-92

CODEN: ACCHEH; ISSN: 0956-3202

DOCUMENT TYPE: Journal

GI

Ι

Hitherto unknown 1-(3-deoxy-3-substituted-.alpha.-L-lyxofuranosyl)thymines and their 2'-deoxy derivs. related to 3'-azidothymidine (AZT) and its congeners were synthesized and their **antiviral** properties examd. They were prepd. by nucleophilic substitution with inversion of configuration from 3'-O-trifluoromethanesulfonate .alpha.-L-arabinofuranonucleosides and their 2'-deoxy derivs. All the compds. (e.g., I) were tested for their activity against a variety of RNA and DNA viruses, but they did not show significant **antiviral** activity.

IT 137608-98-1P 137609-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and antiviral activity of, structure in relation to)

RN 137608-98-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-.alpha.-L-lyxofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 137609-00-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.alpha.-L-lyxofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:492834 CAPLUS

DOCUMENT NUMBER: 115:92834

TITLE: Preparation of deoxyfluoro nucleosides for treatment

of AIDS and pharmaceutical compositions containing

them

INVENTOR(S): Matthes, Eckart; Lehmann, Christine; Scholz, Dieter;

Von Janta-Lipinski, Martin; Gaertner, Klaus; Langen,

Peter; Rosenthal, Hans Alfred

PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Ger. Dem. Rep.

SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 65,952,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: En FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4963662 DD 279407	A A1	19901016 19900606	US 1988-223677 DD 1986-292826	19880715 19860724
DD 281346 AU 8812592 AU 615431	A5 A1 B2	19900808 19881110 19911003	DD 1987-303489 AU 1988-12592	19870603 19880303
CA 1333390 US 5153180	A1 A	19941206 19921006	CA 1988-571404 US 1990-566486	19880707 19900813
CA 1336820 PRIORITY APPLN. INFO.	A1 :		CA 1994-616868 DD 1986-292826 DD 1987-302573	19940531 19860724 19870508
		Ī	DD 1987-303489 US 1987-65952 CA 1988-571404	19870603 19870624 19880707
		į	US 1988-223677	19880715

OTHER SOURCE(S): MARPAT 115:92834

GI

AB The title compds. [I; R1 = (substituted) adenine, cytosine, guanine, thymine, or uracil residue; R2 = H, OH; R3 = OH, acyloxy, (HO)2P(O)O] were prepd. Palmitoyl chloride was added to a soln. of 3'-deoxy-3'-

fluorothymidine in pyridine at 0.degree. and the resulting soln. warmed slowly to room temp. When the reaction was complete (by thin layer chromatog.), the mixt. was poured into ice water to give I [R1 = thymine residue, R2 = H, R3 = plamitoyloxy). 2',3'-Dideoxy-3'-fluorothymidine (II) (prepn. not given) had an ED50 of 0.003 .mu.M against HIV replication in MT-4 cells in vitro. Because of the ability of I to be phosphorylated in the infected cells I were more effective in inhibiting both HIV-1 and HIV-2 than other 3'-modified deoxy nucleosides. Uncoated and coated tablets as well as an injection soln. contg. II were formulated.

56287-14-0P 124493-83-0P IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, for treatment of AIDS)

56287-14-0 CAPLUS RN

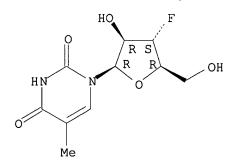
2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 124493-83-0 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-CN 5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 11 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:450176 CAPLUS

DOCUMENT NUMBER:

115:50176

TITLE:

Synthesis and antiviral and cytostatic properties of 3'-deoxy-3'-fluoro- and

2'-azido-3'-fluoro-2',3'-dideoxy-D-ribofuranosides of

natural heterocyclic bases

AUTHOR(S):

Mikhailopulo, I. A.; Poopeiko, N. E.; Prikota, T. I.;

Sivets, G. G.; Kvasyuk, E. I.; Balzarini, J.; De

Clercq, Erik

CORPORATE SOURCE:

Inst. Bioorg. Chem., Minsk, 220600, USSR

SOURCE:

Journal of Medicinal Chemistry (1991), 34(7), 2195-202

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S): GI

As series of 3'-deoxy-3'-fluoro- and 2'-azido-2',3'-dideoxy-3'-fluoro-D-ribofuranosides of natural heterocyclic bases were synthesized with the use of universal carbohydrate precursors, viz., 1-O-acetyl-2,5-di-O-benzoyl-3-deoxy-3-fluoro-D-ribofuranose and Me 2-azido-5-O-benzoyl-2,3-dideoxy-3-fluoro-beta.-D-ribofuranoside, resp. The cytostatic and antiviral activities of the compds. were evaluated against a variety of tumor cell lines and DNA/RNA viruses, resp. As the most active compd., from both a cytostatic and antiviral activity viewpoint, emerged 3'-deoxy-3'-fluoroadenosine (I). It inhibited the proliferation of some tumor cell lines (i.e. murine leukemia L1210 and human T-lymphocyte MT-4) at a concn. of 0.2-2 .mu.g/mL, and proved inhibitory to the replication of pos.-stranded RNA viruses (i.e. polio, Coxsackie, Sindbis, Semliki forest), double-stranded RNA viruses (i.e. reo), and some DNA viruses (i.e. vaccinia) at a concn. of 1-4 .mu.g/mL, which is well below the cytotoxicity threshold (40 .mu.g/mL).

Absolute stereochemistry.

RN 123402-20-0 CAPLUS
CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

RN 133776-17-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-(3-deoxy-3-fluoro-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1990:69447 CAPLUS

DOCUMENT NUMBER:

112:69447

TITLE:

Synthesis and antiviral activity evaluation

of 3'-fluoro-3'-deoxyribonucleosides: broad-spectrum

antiviral activity of 3'-fluoro-3'-

deoxyadenosine

AUTHOR (S):

Van Aerschot, A.; Herdewijn, P.; Janssen, G.; Cools,

M.; De Clercq, E.

CORPORATE SOURCE:

Rega Inst. Med. Res., Kathol. Univ. Leuven, Louvain,

B-3000, Belg.

SOURCE:

Antiviral Research (1989), 12(3), 133-50

CODEN: ARSRDR; ISSN: 0166-3542

DOCUMENT TYPE:

LANGUAGE:

Journal English

GI

AB Five 3-fluorinated ribonucleosides (I, B = uridine, cytidine, thymine, adenine and inosine) were prepd. and evaluated for their inhibitory properties against different viruses. The compds. were prepd. by treatment of 2',5'-di-O-tritylated nucleoside and analogs possessing a xylo-configuration with diethylaminosulfur trifluoride, followed by deprotection. 3'-Fluoro-3'-deoxyadenosine (I, B = adenine) (II) was active against a broad range of viruses, encompassing both DNA viruses

[pox (vaccinia)], single-stranded (+) RNA viruses [picorna (polio, Coxsackie B), toga (sindbis, Semliki Forest)] and double-stranded RNA viruses (reo). In its **antiviral** activity spectrum, II clearly differed from those adenosine analogs that are known as inhibitors of S-adenosylhomocysteine hydrolase. II also was effective in vivo, in inhibiting tail lesion formation in mice inoculated i.v. with vaccinia virus.

IT 57944-13-5P 123402-20-0P 125217-37-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and virucidal activity of)

RN 57944-13-5 CAPLUS

CN Uridine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-20-0 CAPLUS

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125217-37-0 CAPLUS

CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6

ACCESSION NUMBER:

1987:400316 CAPLUS

DOCUMENT NUMBER:

107:316

TITLE:

A proposed mechanism for the selective inhibition of

human cytomegalovirus replication by

1-(2'-deoxy-2'-fluoro-.beta.-D-arabinofuranosyl)-5-

fluorouracil

AUTHOR(S):

Suzuki, Satoru; Misra, Hemant K.; Wiebe, Leonard I.;

Knaus, Edward E.; Lorne, D.; Tyrrell, J.

CORPORATE SOURCE:

Fac. Pharm., Univ. Alberta, Edmonton, AB, T6G 2H7,

SOURCE:

Molecular Pharmacology (1987), 31(3), 301-6

CODEN: MOPMA3; ISSN: 0026-895X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The biol. activities of 1-(2'-deoxy-2'-fluoro-.beta.-D-arabinofuranosyl)-5-AR fluorouracil (2'-F-ara-FU), and 1-(3'-deoxy-3'-fluoro-.beta.-Darabinofuranosyl)-5-fluorouracil (3'-F-ara-FU) were compared in human cytomegalovirus (HCMV)-infected and noninfected human fibroblasts. 2'-F-ara-FU inhibited HCMV plaque formation at lower concns. than 3'F-ara-FU. These nucleoside analogs are expected to be phosphorylated to their 5'-phosphate forms by cellular thymidine kinase in HCMV-infected cells. Cellular thymidine kinase was increased in the virus-infected cells and showed better phosphorylation of 2'-F-ara-FU of did 3'-F-ara-FU. HCMV DNA polymerase was purified by affinity column chromatog., and the inhibitory effect of the 5'-triphosphate derivs. of 2'-F-ara-FU (2'F-ara-FUTP) and 3'F-ara-FU (3'F-ara-FUTP) against viral and host DNA polymerase .alpha. was examd. No significant difference in the effectiveness of inhibition was obsd. between viral DNA polymerase and host polymerase .alpha.. However, viral polymerase incorporated 2'F-ara-FUTP into newly synthesized DNA, whereas polymerase .alpha. did not utilize 2'F-ara-FUTP as a substrate. Thus, viral polymerase differs from host polymerase .alpha. in its recognition and utilization of 2'F-ara-FUTP. This difference may be important to the design of selective antiviral agents for HCMV.

IT 19325-94-1

> RL: RCT (Reactant); RACT (Reactant or reagent) (fluorination of)

RN 19325-94-1 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ΙT 108572-20-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and human cytomegalovirus replication inhibition by)

RN 108572-20-9 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-CN 5-fluoro- (9CI) (CA INDEX NAME)

IT 108572-21-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

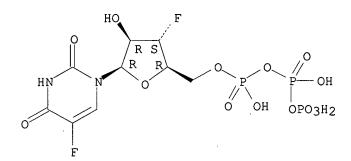
(prepn. and viral and .alpha. DNA polymerases inhibition by)

RN 108572-21-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-0-

[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-Darabinofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 14 OF 19 MEDLINE

ACCESSION NUMBER: 88013803 MEDLINE

DOCUMENT NUMBER: 88013803 PubMed ID: 2821379

TITLE: [The effect of 3'-azido-2',3'-dideoxythymidine on

experimental viral infections].

Deistvie 3'-azido-2',3'-didezoksitimidina na

eksperimental'nye virusnye infektsii.

AUTHOR: Shneider M A; Rudenko N K; Kavsan V M; Bibilashvili R Sh;

Kraevskii A A

SOURCE: MOLEKULIARNAIA BIOLOGIIA, (1987 May-Jun) 21 (3) 837-46.

Journal code: 0105454. ISSN: 0026-8984.

PUB. COUNTRY: USSR

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: Russian

FILE SEGMENT: Priority Journals; AIDS

ENTRY MONTH: 198710

ENTRY DATE: Entered STN: 19900305

Last Updated on STN: 19970203 Entered Medline: 19871026

AB 3'-Azido-2',3'-dideoxythymidine (az-T) inhibited effectively the reproduction of some retroviruses; among these viruses were the four serological subgroups of sarcoma Raus virus in chicken embryo, avian myeloblastosis virus and erythroblastosis virus in chicken. This inhibition was specific towards retroviruses and practically was not observed in the case of infections DNA- and RNA-genome model viruses of vaccinia and influenza, at whose reproduction reverse transcriptase is not involved. Three other 3'-modified nucleosides did not block the

above-listed retroviruses. For chickens, az-T showed low toxicity. The molecular mechanisms of the action of az-T are discussed.

L6 ANSWER 15 OF 19 USPATFULL

ACCESSION NUMBER: 2003:11137 USPATFULL

TITLE: Anti-HCV nucleoside derivatives

INVENTOR(S):

Anti-HCV nucleoside derivatives
Devos, Rene, Welwyn Garden City

Devos, Rene, Welwyn Garden City, UNITED KINGDOM Dymock, Brian William, St. Albans, UNITED KINGDOM Hobbs, Christopher John, Hertford, UNITED KINGDOM Jiang, Wen-Rong, Welwyn Garden City, UNITED KINGDOM Martin, Joseph Armstrong, Harpenden, UNITED KINGDOM Merrett, John Herbert, Baldock, UNITED KINGDOM

Najera, Isabel, St. Albans, UNITED KINGDOM

Shimma, Nobuo, Chigasaki-shi, JAPAN Tsukuda, Takuo, Odawara-shi, JAPAN

PATENT INFORMATION: US 2003008841 A1 20030109 APPLICATION INFO.: US 2001-923620 A1 20010807 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340

KINGSLAND STREET, NUTLEY, NJ, 07110

NUMBER OF CLAIMS: 49 EXEMPLARY CLAIM: 1 LINE COUNT: 4872

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention comprises novel and known purine and pyrimidine nucleoside derivatives which have been discovered to be active against hepatitis C virus (HCV). The use of these derivatives for the treatment of HCV infection is claimed as are the novel nucleoside derivatives disclosed herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 26563-01-9P 125217-37-0P 129885-95-6P

(prepn. of antiviral nucleoside derivs. as inhibitors of subgenomic hepatitis C virus RNA replication)

RN 26563-01-9 USPATFULL

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125217-37-0 USPATFULL

CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

RN 129885-95-6 USPATFULL

CN

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 16 OF 19 USPATFULL

ACCESSION NUMBER: 2002:32541 USPATFULL

TITLE: Method for the treatment or prevention of flavivirus

infections using nucleoside analogues

INVENTOR(S): Ismaili, Hicham Moulay Alaoui, Montreal, CANADA

Cheng, Yun-Xing, Dollard-des-Ormeaux, CANADA Lavallee, Jean-Francois, Bellefeuille, CANADA Siddiqui, Arshad, Dollard-des-Ormeaux, CANADA

Storer, Richard, Baie d'Urfe, CANADA

	NUMBER	KIND	DATE	
, - -				
PATENT INFORMATION: U	JS 2002019363	A1	20020214	
APPLICATION INFO.: U	JS 2001-785235	A1	20010220	(9)

NUMBER DATE

PRIORITY INFORMATION: US 2000-183349P 20000218 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, PC, 2200 CLARENDON

BLVD, SUITE 1400, ARLINGTON, VA, 22201

NUMBER OF CLAIMS: 18 EXEMPLARY CLAIM: 1

LINE COUNT: 1165

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method for the treatment or prevention of Flavivirus infections using nucleoside analogues in a host comprising administering a therapeutically effective amount of a compound having the formula I or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 70580-87-9 85708-20-9 123402-20-0

123402-25-5

(method for treatment or prevention of flavivirus infections using nucleoside analogs and their combination with other agents in relation to hepatitis C virus RNA-dependent RNA polymerase (NS5B protein))

RN 70580-87-9 USPATFULL

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85708-20-9 USPATFULL

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-20-0 USPATFULL

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-25-5 USPATFULL

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

L6 ANSWER 17 OF 19 USPATFULL

ACCESSION NUMBER: 96:29544 USPATFULL

TITLE: 1-(3'-fluoro-2',3'-dideoxy-.beta.-D-ribofuranosyl)-5-

substituted pyrimidine nucleosides

INVENTOR(S): Johansson, Karl N. G., Enhorna, Sweden

Lindborg, BjoG., Avsjo, Sweden

Norinder, Ulf, Sodertalje all of, Sweden Stening, Goran B., Sodertalje all of, Sweden

PATENT ASSIGNEE(S): Medivir AB, Huddinge, Sweden (non-U.S. corporation)

PATENT INFORMATION: US 5506215
APPLICATION INFO.: US 1994-354769

US 1994-354769 19941212 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1991-802706, filed on 6 Dec 1991, now abandoned which is a continuation of

Ser. No. US 1990-518495, filed on 3 May 1990, now abandoned which is a continuation-in-part of Ser. No. US 1988-266402, filed on 2 Nov 1988, now abandoned

NUMBER DATE
----SE 1987-4298 19871103

PRIORITY INFORMATION:

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Granted Kunz, Gary L.

LEGAL REPRESENTATIVE: Birch, Stewart, Kolasch & Birch

NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 1253

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 2',3'-deoxy-3'-fluoro-pyrimidine nucleoside having the formula: ##STR1## wherein R.sup.1 is OH or NH.sub.2;

R.sup.2 is CF.sub.3, CH.sub.2 CH.sub.2 CH.sub.3, ##STR2## CH.sub.2 OCH.sub.3, CH.sub.2 SCH.sub.3, CH.dbd.CH.sub.2 CH.dbd.CH--CH.sub.3, C.tbd.CH, C.tbd.C--CH.sub.3 or CH.sub.2 --C.tbd.CH;

or a pharmaceutically acceptable salt thereof.

These nucleoside analogs exhibit **antiviral** activity against HIV.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 178374-46-4P 178374-47-5P

(prepn. of (fluorodideoxy-.beta.-D-ribofuranosyl)pyrimidine nucleosides as antiviral agents against HIV)

RN 178374-46-4 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-2-O-methyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

RN 178374-47-5 USPATFULL

CN

2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-2-0-methyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry:

IT 99614-77-4P 124493-83-0P 178374-50-0P

(prepn. of (fluorodideoxy-.beta.-D-ribofuranosyl)pyrimidine nucleosides as antiviral agents against HIV)

RN 99614-77-4 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 124493-83-0 USPATFULL

CN' 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

178374-50-0 USPATFULL RN

2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-(3-deoxy-3-fluoro-.beta.-D-CN arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 18 OF 19 USPATFULL

ACCESSION NUMBER: 92:82761 USPATFULL

TITLE: Fluorinated nucleosides and process for treating

retrovirus infections therewith

Matthes, Eckart, Karower Chausee 129, 1115 Berlin, INVENTOR(S):

Germany, Federal Republic of Lehmann, Christine, Walter-Friedrich Str. 5, 1055

Berlin, Germany, Federal Republic of

Scholz, Dieter, Heinrich-Roller Str. 16, 1055 Berlin,

Germany, Federal Republic of

von Janta-Lipinski, Martin, Pradelstr. 6, 1100 Berlin,

Germany, Federal Republic of

Gaertner, Klaus, Karower Chaussee 157, 1115 Berlin,

Germany, Federal Republic of

Langen, Peter, Karower Chaussee 219, 1115 Berlin,

Germany, Federal Republic of

Rosenthal, Hans-Alfred, Markisches Ufer 14, 1020

Berlin, Germany, Federal Republic of

	NUMBER	KIND	DATE			
PATENT INFORMATION: APPLICATION INFO.: DISCLAIMER DATE: RELATED APPLN. INFO.:	US 5153180 US 1990-566486 20071016 Continuation of Jul 1988, now pa	Ser. No. tented, 1	Pat. No.	-223677, fi US 4963662	2 which is	а
	continuation of 1987, now abando		US 1987-	-65952, III	Lea on 24	Jun

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Rollins, John W. LEGAL REPRESENTATIVE: Schweitzer Cornman & Gross

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

805 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A process for treating AIDS, which comprises administering to a patient AΒ in need therefor a pharmaceutical composition comprising a therapeutically effective amount of a compound having the formula ##STR1## wherein: R.sub.1 is an adenine, cytosine, quanine, thymidine, uracil, 5-substituted uracil, 5-substituted cytosine derivative, 2-fluoroadenine, 2.6-diaminopurine, 2-aminopurine, 6-thioguanine, or 7-deazaadenine group;

R.sub.2 is H, or a OH group;

R.sub.3 is a OH, O-acyl, O-palmitoyl group or phosphates (as free acid, or its alkali, ammonium or alkyl ammonium salts), or any other precursor group for the hydroxyl group;

or a physiologically acceptable salt thereof. Furthermore, the present invention comprises the new compounds:

2',3'-dideoxy-3'-fluoro-2-fluoroadenosine,

2',3'-dideoxy-3'-fluoro-6-thioguanosine,

2',3'-dideoxy-3'-fluoro-2,6-diaminopurineriboside,

2',3'-dideoxy-3'-fluoro-2-aminopurineriboside,

2',3'-dideoxy-3'-fluoro-5-aminomethyluridine,

2',3'-dideoxy-3'-fluoro-5-azidomethyluridine, and

2',3'-dideoxy-3'-fluoro-5-hydroxymethyluridine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

56287-14-0P IT

(prepn. of, for treatment of AIDS)

56287-14-0 USPATFULL RN

2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-(9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ΙT 19325-94-1

(reaction of, in prepn. of drug for treatment of AIDS)

19325-94-1 USPATFULL ŔN

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-(9CI) (CA INDEX NAME)

IT 56287-14-0

(use of, for treatment of AIDS)

RN 56287-14-0 USPATFULL

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 19 USPATFULL

ACCESSION NUMBER:

90:79990 USPATFULL

TITLE:

Fluorinated nucleosides and method for treating

retrovirus infections therewith

INVENTOR(S):

Matthes, Eckart, Berlin, German Democratic Republic Lehmann, Christine, Berlin, German Democratic Republic Scholz, Dieter, Berlin, German Democratic Republic von Janta-Lipinski, Martin, Berlin, German Democratic

Republic

Gaertner, Klaus, Berlin, German Democratic Republic Langen, Peter, Berlin, German Democratic Republic Rosenthal, Hans-Alfred, Berlin, German Democratic

Republic

PATENT ASSIGNEE(S):

Akademie der Wissenschaften der DDR, Berlin, German

Democratic Republic (non-U.S. corporation)

	NUMBER KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	00 130000	19901016 19880715 (7)	
RELATED APPLN. INFO.:	Continuation-in-part of on 24 Jun 1987, now abar	Ser. No. US 1987-65952,	filed

	NUMBER	DATE
PRIORITY INFORMATION:	DD 1986-2928263	19860724
	DD 1987-3025732	19870508
	DD 1987-3034896	19870603
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Rollins, John W.	
LEGAL REPRESENTATIVE:	Schweitzer Cornman	& Gross
MIMBED OF CLAIMS.	8	

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

1 810

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for treating AIDS, which comprises administering to a patient in need therefor a pharmaceutical composition comprising a therapeutically effective amount of a compound having the formula ##STR1## wherein R.sub.1 is an adenine, cytosine, guanine, thymidine, uracil, 5-substituted uracil, 5-substituted cytosine derivative, 2-fluoroadenine, 2.6-diaminopurine, 2-aminopurine, 6-thioguanine, or 7-deazaadenine group;

R.sub.2 is H, or a OH group;

R.sub.3 is a OH, O-acyl, O-palmitoyl group, or phosphates (as free acid, or its alkali, ammonium or alkyl ammonium salts), or any other precursor group for the hydroxyl group;

or a physiologically acceptable salt thereof. Furthermore, the present invention comprises the new compounds:

2',3'-dideoxy-3'-fluoro-2-fluoroadenosine,

2', 3'-dideoxy-3'-fluoro-6-thioguanosine,

2', 3'-dideoxy-3'-fluoro-2, 6-diaminopurineriboside,

2',3'-dideoxy-3'-fluoro-2-aminopurineriboside,

2',3'-dideoxy-3'-fluoro-5-aminomethyluridine,

2',3'-dideoxy-3'-fluoro-5-azidomethyluridine, and

2',3'-dideoxy-3'-fluoro-5-hydroxymethyluridine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 56287-14-0P 124493-83-0P

(prepn. of, for treatment of AIDS)

RN 56287-14-0 USPATFULL

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 124493-83-0 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

=>